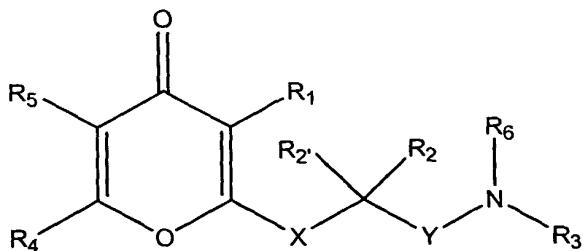


What is claimed is:

1. A compound having the structure represented by Formula I:



(Formula I)

wherein:

X is optionally substituted alkylene, -C(O)-, or is absent;

Y is optionally substituted alkylene, -C(O)-, or is absent;

R₁ is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, and optionally substituted heteroaralkyl-;

R₄ and R₅ are independently chosen from hydrogen, optionally substituted alkyl, optionally substituted alkoxy, halogen, hydroxyl, nitro, cyano, optionally substituted amino, alkylsulfonyl, alkylsulfonamido, alkylsulfanyl, carboxy, carboxyalkyl, carboxamido, aminocarbonyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaralkyl and optionally substituted heteroaryl; or R₄ and R₅, taken together with the carbons to which they are bound, form an optionally substituted 5- to 7-membered non-aromatic ring;

R₂ and R_{2'} are independently chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, and optionally substituted heteroaralkyl-; or R₂ and R_{2'}, taken together with the carbon to which they are bound, form an optionally substituted 3- to 7-membered ring;

R₃ is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, optionally substituted heteroaralkyl-, -C(O)-R₇, and -S(O)₂-R_{7a}; and R₆ is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaralkyl-, and optionally substituted heterocyclyl-;

or R₃ taken together with R₆, and the nitrogen to which they are bound, form an optionally substituted 5- to 12-membered nitrogen-containing heterocycle, which optionally incorporates from one to two additional heteroatoms, selected from N, O, and S in the heterocycle ring;

or R₃ taken together with R₂ form an optionally substituted 5- to 12-membered nitrogen-containing heterocycle, which optionally incorporates from one to two additional heteroatoms, selected from N, O, and S in the heterocycle ring;

R₇ is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, optionally substituted heteroaralkyl-, -OR₈ and -NHR₁₄;

R_{7a} is chosen from optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, optionally substituted heteroaralkyl-, and R₁₄-NH-;

R₈ is chosen from optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, and optionally substituted heteroaralkyl-; and

R₁₄ is hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, or optionally substituted heteroaralkyl-including single stereoisomers and mixtures of stereoisomers;

a pharmaceutically acceptable salt of a compound of Formula I;

a pharmaceutically acceptable solvate of a compound of Formula I;

or a pharmaceutically acceptable solvate of a pharmaceutically acceptable salt of a compound of Formula I.

2. A compound according to claim 1, having one or more of the following:

X and Y are absent;

R₁ is selected from hydrogen, optionally substituted C₁-C₈ alkyl-, optionally substituted aryl-, optionally substituted heteroaryl-, optionally substituted aryl-C₁-C₄-alkyl-, and optionally substituted heteroaryl-C₁-C₄-alkyl-;

R₂ is optionally substituted C₁-C₄ alkyl-;

R₂ is hydrogen or optionally substituted C₁-C₄ alkyl-;

R₄ and R₅ are independently chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano optionally

substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, and optionally substituted N-heterocyclyl, or R₄ and R₅, taken together with the carbons to which they are bound form a 5- to 7-membered non-aromatic ring;

R₃ is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, optionally substituted heteroaralkyl-, -C(O)-R₇, and -S(O)₂-R_{7a};

R₆ is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaralkyl-, and optionally substituted heterocyclyl-;

R₇ is selected from hydrogen, optionally substituted alkyl-, optionally substituted aralkyl-, optionally substituted heteroaralkyl-, optionally substituted heteroaryl-, optionally substituted aryl-, R₈O- and R₁₄-NH-;

R₈ is chosen from optionally substituted alkyl and optionally substituted aryl;

R₁₄ is chosen from hydrogen, optionally substituted alkyl and optionally substituted aryl; and/or

R_{7a} is chosen from optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, optionally substituted heteroaralkyl-, and R₁₄-NH-.

3. A compound according to claim 2, having one or more of the following:

R₁ is optionally substituted phenyl-C₁-C₄-alkyl-, optionally substituted heteroaryl-C₁-C₄-alkyl-, or naphthalenylmethyl-;

R₂ is hydrogen;

R₂ is optionally substituted C₁-C₄ alkyl-;

R₄ and R₅ are independently chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano optionally substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, and optionally substituted N-heterocyclyl;

R₃ is -C(O)-R₇; and/or

R₇ is chosen from optionally substituted alkyl-; aryl-; substituted aryl-; benzyl-; and optionally substituted heteroaryl-.

4. A compound according to claim 3, having one or more of the following:

R₁ is naphthyl-, phenyl-, bromophenyl-, chlorophenyl-, methoxyphenyl-, ethoxyphenyl-, tolyl-, dimethylphenyl-, chorofluorophenyl-, methylchlorophenyl-, ethylphenyl-, phenethyl-, benzyl-, chlorobenzyl-, methylbenzyl-, methoxybenzyl-, cyanobenzyl-, hydroxybenzyl-, dichlorobenzyl-, dimethoxybenzyl-, or naphthalenylmethyl-;

R₂ is hydrogen;

R₂ is ethyl or propyl;

R₆ is R₁₂-alkylene-;

R₁₂ is chosen from a alkoxy, amino, alkylamino, dialkylamino, carboxy, guanidine, hydroxyl-, and N-heterocyclyl;

R₄ is hydrogen, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano, substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, or optionally substituted N-heterocyclyl; and/or

R₅ is hydrogen, lower alkyl, or halo.

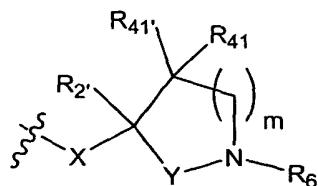
5. A compound according to claim 1, having one or more of the following:

X and Y are absent;

R₁ is selected from hydrogen, optionally substituted C₁-C₈ alkyl-, optionally substituted aryl-, optionally substituted heteroaryl-, optionally substituted aryl-C₁-C₄-alkyl-, and optionally substituted heteroaryl-C₁-C₄-alkyl-;

R₄ and R₅ are independently chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano optionally substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, and optionally substituted N-heterocyclyl; or R₄ and R₅, taken together with the carbons to which they are bound form a 5- to 7-membered non-aromatic ring; and/or

R₂ and R₃ taken together form an optionally substituted ring of the formula:



wherein

R_{41} and $R_{41'}$ are independently chosen from hydrogen, alkyl, aryl, aralkyl, heteroaryl, substituted alkyl, substituted aryl, substituted aralkyl and substituted heteroaryl;

m is 0, 1, 2, or 3;

R_2 is hydrogen or optionally substituted C₁-C₄ alkyl-; and

R_6 is chosen from hydrogen, optionally substituted acyl, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaralkyl-, and optionally substituted heterocyclyl-.

6. A compound according to claim 5, having one or more of the following:

R_1 is optionally substituted phenyl-C₁-C₄-alkyl-, optionally substituted heteroaryl-C₁-C₄-alkyl-, or naphthalenylmethyl-;

R_{41} and $R_{41'}$ are hydrogen;

R_6 is optionally substituted aralkyl or optionally substituted acyl;

R_2 is hydrogen; and/or

R_4 and R_5 are independently chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano optionally substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, and optionally substituted N-heterocyclyl.

7. A compound according to claim 1, having one or more of the following:

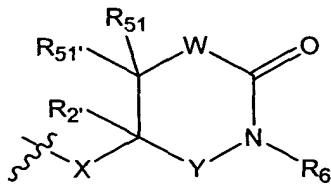
X and Y are absent;

R_1 is selected from hydrogen, optionally substituted C₁-C₈ alkyl-, optionally substituted aryl-, optionally substituted heteroaryl-, optionally substituted aryl-C₁-C₄-alkyl-, and optionally substituted heteroaryl-C₁-C₄-alkyl-;

R_4 and R_5 are independently chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano optionally substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, and optionally substituted N-heterocyclyl

and/or

R_2 and R_3 taken together form an optionally substituted ring of the formula:



wherein

R_{51} and R_{51}' are independently chosen from hydrogen, alkyl, aryl, aralkyl, heteroaryl, substituted alkyl, substituted aryl, substituted aralkyl and substituted heteroaryl;

R_2' is hydrogen or optionally substituted C₁-C₄ alkyl-;

W is a covalent bond, CR'R'' or NR''';

R' and R'' are independently chosen from hydrogen, hydroxy, amino, optionally substituted aryl, optionally substituted alkylamino, optionally substituted alkyl and optionally substituted alkoxy;

R''' is chosen from hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, and optionally substituted heteroaralkyl; and

R_6 is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaralkyl-, and optionally substituted heterocyclyl-.

8. A compound according to claim 7, having one or more of the following:

R_1 is optionally substituted phenyl-C₁-C₄-alkyl-, optionally substituted heteroaryl-C₁-C₄-alkyl-, or naphthalenylmethyl-;

R_{51} is hydrogen or optionally substituted lower alkyl;

R_{51}' is hydrogen or optionally substituted lower alkyl;

R_6 is optionally substituted aryl or optionally substituted aralkyl;

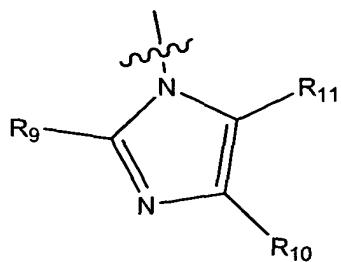
W is CR'R'' where R' and/or R'' are hydrogen or W is NR''' where R''' is hydrogen or optionally substituted alkyl; and/or

R_2' is hydrogen.

9. A compound according to claim 1, having one or more of the following:

X and Y are absent;

R_1 is selected from hydrogen, optionally substituted C_1 - C_8 alkyl-, optionally substituted aryl-, optionally substituted heteroaryl-, optionally substituted aryl- C_1 - C_4 -alkyl-, and optionally substituted heteroaryl- C_1 - C_4 -alkyl-;
 R_2 is optionally substituted C_1 - C_4 alkyl-;
 R_2 is hydrogen or optionally substituted C_1 - C_4 alkyl-;
 R_4 and R_5 are independently chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano optionally substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, and optionally substituted N-heterocyclyl; or R_4 and R_5 , taken together with the carbons to which they are bound form a 5- to 7-membered non-aromatic ring; and/or
 R_3 taken together with R_6 and the nitrogen to which they are bound, forms an optionally substituted imidazolinyl ring of the formula:



R_9 is chosen from hydrogen, optionally substituted C_1 - C_8 alkyl-, optionally substituted aryl-, optionally substituted aryl- C_1 - C_4 -alkyl-, optionally substituted heteroaryl- C_1 - C_4 -alkyl-, optionally substituted aryl- C_1 - C_4 -alkoxy, optionally substituted heteroaryl- C_1 - C_4 -alkoxy, and optionally substituted heteroaryl-; and
 R_{10} and R_{11} are independently hydrogen, optionally substituted C_1 - C_8 alkyl-, optionally substituted aryl-, or optionally substituted aryl- C_1 - C_4 -alkyl-.

10. A compound according to claim 9, having one or more of the following:

R_1 is optionally substituted phenyl- C_1 - C_4 -alkyl-, optionally substituted heteroaryl- C_1 - C_4 -alkyl-, or naphthalenylmethyl-;

R_2 is hydrogen;

R_2 is optionally substituted C_1 - C_4 alkyl-;

R_4 and R_5 are independently chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano optionally substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, and optionally substituted N-heterocyclyl;

R_9 is phenyl substituted with C_1 - C_4 -alkyl-, C_1 - C_4 -alkoxy-, and/or halo; phenyl-; benzyl-; thiophenyl-; or thiophenyl- substituted with C_1 - C_4 -alkyl-, C_1 - C_4 -alkoxy-, and/or halo;

R_{10} is substituted C_1 - C_4 alkyl-; and/or

R_{11} is hydrogen.

11. A compound according to claim 1, having one or more of the following:

X and Y are absent;

R_1 is selected from hydrogen, optionally substituted C_1 - C_8 alkyl-, optionally substituted aryl-, optionally substituted heteroaryl-, optionally substituted aryl- C_1 - C_4 -alkyl-, and optionally substituted heteroaryl- C_1 - C_4 -alkyl-;

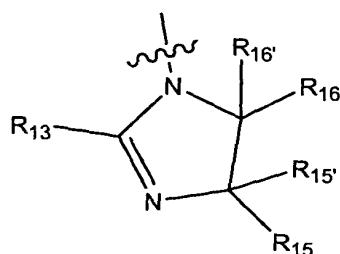
R_2 is optionally substituted C_1 - C_4 alkyl-;

R_2 is hydrogen or optionally substituted C_1 - C_4 alkyl-;

R_4 and R_5 are independently chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano optionally substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, and optionally substituted N-heterocyclyl; or R_4 and R_5 , taken together with the carbons to which they are bound form a 5- to 7-membered non-aromatic ring; and/or

R_3 taken together with R_6 forms an optionally substituted imidazolinyl ring of the

formula:



wherein

R_{13} is chosen from hydrogen, optionally substituted C_1 - C_8 alkyl-, optionally substituted aryl-, optionally substituted aryl- C_1 - C_4 -alkyl-, optionally substituted heteroaryl-,

optionally substituted heteroaryl-C₁-C₄-alkyl-; and

R₁₅, R_{15'}, R₁₆, and R_{16'} are independently chosen from hydrogen, optionally substituted C₁-C₈ alkyl-, optionally substituted aryl-, and optionally substituted aryl-C₁-C₄-alkyl-.

12. A compound according to claim 11, having one or more of the following:

R₁ is optionally substituted phenyl-C₁-C₄-alkyl-, optionally substituted

heteroaryl-C₁-C₄-alkyl-, or naphthalenylmethyl-;

R₂ is hydrogen;

R₂ is optionally substituted C₁-C₄ alkyl-;

R₄ and R₅ are independently chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano optionally substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, and optionally substituted N-heterocyclyl;

R₁₃ is methylenedioxyphenyl-; phenyl-; phenyl substituted with C₁-C₄ alkyl-, C₁-C₄ alkoxy-, and/or halo; benzyl-; thienyl substituted with C₁-C₄ alkyl; benzyl; thiophenyl-; or thiophenyl- substituted with C₁-C₄-alkyl-, C₁-C₄-alkoxy-, and/or halo; and/or

R₁₅, R_{15'}, R₁₆, and R_{16'} are independently hydrogen or optionally substituted C₁-C₄ alkyl-.

13. A compound according to claim 1, having one or more of the following:

X and Y are absent;

R₁ is selected from hydrogen, optionally substituted C₁-C₈ alkyl-, optionally substituted aryl-, optionally substituted heteroaryl-, optionally substituted aryl-C₁-C₄-alkyl-, and optionally substituted heteroaryl-C₁-C₄-alkyl-;

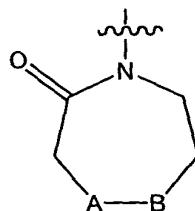
R₂ is optionally substituted C₁-C₄ alkyl-;

R₂' is hydrogen or optionally substituted C₁-C₄ alkyl-;

R₄ and R₅ are independently chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano optionally substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, and optionally substituted N-heterocyclyl; or R₄ and R₅, taken together with the carbons to which they are bound form a 5- to 7-membered non-aromatic ring; and/or

R₃ taken together with R₆ forms an optionally substituted diazepinone ring of the

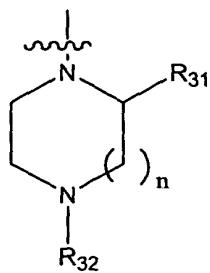
formula:



wherein

A and B are each independently chosen from C(R₂₀)(R₂₁), N(R₂₂), O or S;
R₂₀ and R₂₁ are each independently selected from H, optionally substituted alkyl
optionally substituted aryl and optionally substituted heteroaryl; and
R₂₂ is H, optionally substituted alkyl, optionally substituted aralkyl, optionally
substituted heteroaralkyl, optionally substituted alkylcarbonyl, optionally
substituted arylcarbonyl, optionally substituted heteroarylcarbonyl, optionally
substituted aralkylcarbonyl, optionally substituted heteroaralkylcarbonyl,
optionally substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl,
optionally substituted heteroaryloxy carbonyl, optionally substituted
aralkyloxy carbonyl, optionally substituted heteroaralkyloxy carbonyl.

14. A compound according to claim 1, having one or more of the following:
X and Y are absent;
R₁ is selected from hydrogen, optionally substituted C₁-C₈ alkyl-, optionally
substituted aryl-, optionally substituted heteroaryl-, optionally substituted
aryl-C₁-C₄-alkyl-, and optionally substituted heteroaryl-C₁-C₄-alkyl-;
R₂ is optionally substituted C₁-C₄ alkyl-;
R₂ is hydrogen or optionally substituted C₁-C₄ alkyl-;
R₄ and R₅ are independently chosen from hydrogen, hydroxyl, halo, optionally
substituted lower alkyl, optionally substituted lower alkoxy, cyano optionally
substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, and optionally
substituted N-heterocyclyl; or R₄ and R₅, taken together with the carbons to
which they are bound form a 5- to 7-membered non-aromatic ring; and/or
R₃ taken together with R₆ forms an optionally substituted piperazine- or diazepam of
the formula:



R₃₁ and R₃₂ are independently chosen from hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl, and optionally substituted heteroaralkyl; and n is 1 or 2.

15. A compound according to claim 14, having one or more of the following:

R₁ is optionally substituted phenyl-C₁-C₄-alkyl-, optionally substituted heteroaryl-C₁-C₄-alkyl-, or naphthalenylmethyl-;

R₂ is hydrogen;

R₂ is optionally substituted C₁-C₄ alkyl-;

R₄ and R₅ are independently chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano optionally substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, and optionally substituted N-heterocyclyl;

R₃₁ is aryl, substituted aryl, aralkyl, heteroaralkyl, substituted aralkyl, or substituted heteroaralkyl;

R₃₂ is hydrogen; and/or

n is 1.

16. A compound according to claim 1, having one or more of the following:

R₁ is optionally substituted phenyl-C₁-C₄-alkyl-, optionally substituted heteroaryl-C₁-C₄-alkyl-, or naphthalenylmethyl-;

R₂ is hydrogen;

R₂ is optionally substituted C₁-C₄ alkyl-;

R₄ and R₅ are independently chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano optionally

substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, and optionally substituted N-heterocyclyl;

R₃ is -S(O)₂-R_{7a};

R₆ is R₁₂-alkylene-;

R₁₂ is chosen from alkoxy, amino, alkylamino, dialkylamino, carboxy, hydroxyl-, and N-heterocyclyl-; and/or

R_{7a} is chosen from C₁-C₁₃ alkyl-; phenyl-; naphthyl-; phenyl substituted with cyano, halo, lower-alkyl-, lower-alkoxy, nitro, methylenedioxy, or trifluoromethyl-; biphenyl and heteroaryl-.

17. A compound according to claim 16, having one or more of the following:

R₁ is naphthyl-, phenyl-, bromophenyl-, chlorophenyl-, methoxyphenyl-, ethoxyphenyl-, tolyl-, dimethylphenyl-, chorofluorophenyl-, methylchlorophenyl-, ethylphenyl-, phenethyl-, benzyl-, chlorobenzyl-, methylbenzyl-, methoxybenzyl-, cyanobenzyl-, hydroxybenzyl-, dichlorobenzyl-, dimethoxybenzyl-, or naphthalenylmethyl-;

R₂ is hydrogen and R₂ is ethyl or propyl;

R₄ is hydrogen, halo, optionally substituted lower alkyl, optionally substituted lower alkoxy, cyano, substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, or optionally substituted N-heterocyclyl;

R₅ is hydrogen, lower alkyl, or halo; and/or

R_{7a} is chosen from phenyl substituted with halo, lower-alkyl-, lower-alkoxy, cyano, nitro, methylenedioxy, or trifluoromethyl-; and naphthyl-.

18. A compound according to claim 1 wherein

X is absent;

Y is absent;

R₁ is optionally substituted aryl-C₁-C₄-alkyl-, optionally substituted heteroaryl-C₁-C₄-alkyl-, or naphthalenylmethyl ;

R₂ is optionally substituted C₁-C₄-alkyl-;

R₂ is hydrogen;

R₄ is methyl or phenyl;

R₅ is hydrogen or methyl;

and

R₃ is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, optionally substituted heteroaralkyl-, -C(O)-R₇, and -S(O)₂-R_{7a}; and R₆ is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaralkyl-, and optionally substituted heterocyclyl-;

or R₃ taken together with R₆, and the nitrogen to which they are bound, form an optionally substituted 5- to 12-membered nitrogen-containing heterocycle, which optionally incorporates from one to two additional heteroatoms, selected from N, O, and S in the heterocycle ring.

19. A compound according to claim 18, wherein

R₃ is -C(O)R₇;

R₆ is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaralkyl-, and optionally substituted heterocyclyl- and

R₇ is selected from hydrogen, optionally substituted alkyl-, optionally substituted aralkyl-, optionally substituted heteroaralkyl-, optionally substituted heteroaryl-, optionally substituted aryl-, R₈O- and R₁₄-NH-, wherein R₈ is chosen from optionally substituted alkyl and optionally substituted aryl and R₁₄ is chosen from hydrogen, optionally substituted alkyl and optionally substituted aryl.

20. A compound according to any one of claims 1-4 or 9-19 wherein R₂ and R_{2'} are each attached to a stereogenic center having an R-configuration.

21. A composition comprising a pharmaceutical excipient and a compound, salt, or solvate thereof of any one of claims 1-19.

22. A composition according to claim 21, wherein said composition further comprises a chemotherapeutic agent other than a compound of Formula I or a pharmaceutical salt or solvate thereof.

23. A composition according to claim 22, wherein said composition further comprises a

taxane.

24. A composition according to claim 22, wherein said composition further comprises a vinca alkaloid.
25. A composition according to claim 22, wherein said composition further comprises a topoisomerase I inhibitor.
26. A method of inhibiting KSP which comprises contacting said kinesin with an effective amount of a compound according to any one of claims 1 to 19.
27. A method for the treatment of a cellular proliferative disease comprising administering to a subject in need thereof a compound according to any one of claims 1-19.
28. A method for the treatment of a cellular proliferative disease comprising administering to a subject in need thereof a composition according to any one of claims 21-25.
29. A method according to claim 28 wherein said disease is selected from the group consisting of cancer, hyperplasias, restenosis, cardiac hypertrophy, immune disorders, and inflammation.
30. The use, in the manufacture of a medicament for treating cellular proliferative disease, of a compound according to any one of claims 1-19.
31. The use of a compound as defined in claim 30 for the manufacture of a medicament for treating a disorder associated with KSP kinesin activity.